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A summary of the papers in this month's issue.

Solid-phase synthesis

- A novel method for the synthesis of N-substituted α-amino acids has been reported (Lin *et al*, *Tetrahedron Lett.*, 2000, *41(18)*, 3309-3313) and depends upon the use of Fukuyama's 2-nitrobenzenesulphonamide protecting group for amines attached to a polystyrene resin solid support.
- 1,5-Benzothiazepine-4-one derivatives have been prepared on solid-phase from a variety of available halo-nitrobenzene derivatives in excellent purities (Morton *et al*., *Tetrahedron Lett.*, 2000, *41(17)*, 3029-3033).
- A five step solid-phase synthesis of 2-unsubstituted 1,2,5-thiadiazolidine-3-one 1,1-dioxides (sulphahydantoins) has been achieved incorporating a key cyclisation/cleavage step (Albericio *et al*., *Tetrahedron Lett.*, 2000, *41(17)*, 3161-3163).
- γ-Lactones, γ-lactams and cyclobutane derivatives can be synthesised on solid-phase support from common resin-bound intermediates (Brown *et al*., *Tetrahedron Lett.*, 2000, *41(17)*, 3247-3251).
- Starting with an aldehyde functionalised resin, a combinatorial three-step solid-phase traceless synthesis of quinoxalinones has been described (Krchnák *et al*., *Tetrahedron Lett.*, 2000, *41(16)*, 2835-2838).
- A new encoding strategy for combinatorial chemistry has been reported that uses trityl tags that can be released from the solid support and analysed by MALDI-TOF mass spectrometry (Shchepinov *et al*., *Tetrahedron*, 2000, *56(17)*, 2713-2724).
- Highly substituted proline analogues have been synthesised on Wang resin through a 1,3-dipolar cycloaddition of azomethine ylides with maleimides (Henkel *et al*., *Bioorg. & Med. Chem. Lett.*, 2000, *10(9)*, 975-977).
- Cyclic sulphonamides have been prepared on solid phase and released through a ring-closing metathesis-cleavage sequence (Brown *et al*., *Tetrahedron Lett.*, 2000, *41(19)*, 3681-3685).
- Aryl ethers have been prepared on a high-loading dendrimer resin and the synthetic efficiency compared with that of TentaGel resin (Basso *et al*., *Tetrahedron Lett.*, 2000, *41(19)*, 3763-3767).

Linkers

- A new method for the preparation of thioester resin linkers for the solid-phase synthesis of peptide C-terminal thioacids has been reported (Goldstein and Gelb, *Tetrahedron Lett.*, 2000, *41(16)*, 2797-2800).
- Amines can be attached to solid supports through the 2-(thiobenzyl)ethyl carbamate linker. It has been shown that this linker may be efficiently prepared by solid-supported thiyl radical addition to N-vinyloxycarbonyl derivatives of secondary amines (Timár and Gallagher, *Tetrahedron Lett.*, 2000, *41(17)*, 3173-3176).

Solid-phase reagents

- A scaffold has been devised for the solution-phase synthesis of arrays of adenosine derivatives (Golisade *et al*., *Tetrahedron*, 2000, *56(20)*, 3167-3172). The synthesis employed a polymer-bound reagent for the key adenine acylation step.
- A convenient synthesis of 2,9-disubstituted guanines has been described that uses solid-phase reagents to chemoselectively separate regioisomers (McComas *et al*., *Tetrahedron Lett.*, 2000, *41(19)*, 3573-3576).

Library applications

- A novel assay has been devised that uses a simple colorimetric test to detect the binding of karyopherin ligands to a nuclear import receptor by competition with peptides immobilised on TentaGel solid support (Connolly *et al*., *Bioorg. & Med. Chem. Lett.*, 2000, *10(9)*, 951-954).
- A new solid and solution-phase combinatorial approach has been used to prepare a library of 880 discrete neuroimmunophilin ligands in multimilligram quantities (Rabinowitz *et al*., *Bioorg. & Med. Chem. Lett.*, 2000, *10(10)*, 1007-1010).
- A 4 by 14 focused combinatorial library of azarene pyrrolidinones has been prepared on solid phase support and used in a study to develop SAR around the inhibition of factor Xa (Gong *et al*., *Bioorg. & Med. Chem. Lett.*, 2000, *10(10)*, 1033-1036).